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L1      STRUCTURE UPLOADED

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L1              STR
/ Structure 2 in file .gra /


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FULL SEARCH INITIATED 12:01:23 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   3592 TO ITERATE

100.0% PROCESSED    3592 ITERATIONS                          161 ANSWERS
SEARCH TIME: 00.00.01

L2          161 SEA SSS FUL L1

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                                                   ENTRY    SESSION
FULL ESTIMATED COST                               162.62     162.83

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=> s l2
L3            4 L2

=> d l3 1-4 ibib abs

L3   ANSWER 1 OF 4  CAPLUS  COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:         2004:220301  CAPLUS
DOCUMENT NUMBER:          140:270550
TITLE:                    A preparation of 1,3-diamino-2-hydroxypropane
                          derivatives as beta-secretase enzyme inhibitors
```

INVENTOR(S): Fobian, Yvette M.; Freskos, John N.; Jagodzinska, Barbara
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn
SOURCE: PCT Int. Appl., 535 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004022523	A2	20040318	WO 2003-US28116	20030908
WO 2004022523	A3	20040910		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004214890	A1	20041028	US 2003-657567	20030908
PRIORITY APPLN. INFO.:			US 2002-408783P P	20020906

OTHER SOURCE(S): MARPAT 140:270550
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to diamino(hydroxy)propane derivs. of formula I [wherein: R1 = -(CH2)1-2-S(O)0-2-(C1-6 alkyl) or (un)substituted (cyclo)alkyl, alk(en/yn)yl, (hetero)aryl, etc.; R2 = H, C1-6 alkyl optionally substituted with 1-3 substituents, (CH2)0-4-(hetero)aryl, C2-6 alk(en/yn)yl, etc.; R3 = H, C1-6 alkyl optionally substituted with 1-3 substituents, (CH2)0-4-(hetero)aryl, etc.; R4 = C1-10 alkyl optionally substituted with 1-3 substituents, -(CH2)0-3-cycloalkyl, -(CR7R8)0-4-(hetero)aryl, etc.; one of R5 and R6 is H and the other is -C(O)(CR9R10)1-6-X-R11, etc.; R7 and R8 are independently selected from H, alkyl, hydroxyalkyl, alk(en/yn)yl, etc.; R9 and R10 are independently selected from H or C1-10 alkyl; R11 = (hetero)aryl, optionally substituted C1-10 alkyl, or C3-8 cycloalkyl, etc.; X = O, S, SO2, etc.]. Compds. I include inhibitors of beta-secretase enzyme useful in the treatment of Alzheimer's disease and other diseases characterized by deposition of A beta-peptide in a mammal. Biol. examples include beta-secretase inhibition, assays using synthetic oligopeptide-substrates, inhibition of A beta production in human patients, etc. For instance, compound II (preparation 8) was prepared via amidation of benzoic acid derivative III by diamino(hydroxy)propane derivative IV and subsequent Boc-cleavage (no yield data). Using 19F-NMR an intramol. acyl-migration was observed when compound II was dissolved in DMSO-d6 and pH 4 buffer solution was added.

L3 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:421096 CAPLUS
DOCUMENT NUMBER: 133:59100
TITLE: Methods for the synthesis of α-hydroxy-β-amino acid and amide derivatives
INVENTOR(S): Semple, Joseph E.; Levy, Odile E.

PATENT ASSIGNEE(S): Corvas International, Inc., USA
SOURCE: PCT Int. Appl., 158 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000035868	A2	20000622	WO 1999-US30267	19991216
WO 2000035868	A3	20010104		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6376649	B1	20020423	US 1998-216134	19981218
CA 2354476	AA	20000622	CA 1999-2354476	19991216
EP 1140854	A2	20011010	EP 1999-967427	19991216
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002532466	T2	20021002	JP 2000-588130	19991216
PRIORITY APPLN. INFO.:			US 1998-216134 A	19981218
			WO 1999-US30267 W	19991216

OTHER SOURCE(S): MARPAT 133:59100
AB Methods for the synthesis of α-hydroxy-β-amino acid and amide derivs. and α-ketoamide derivs. and novel derivs. made by these methods are provided. These methods involve reacting an N-terminally blocked (protected) aminoaldehyde with an isonitrile and a carboxylic acid to give an amino-α-acyloxy carboxamide. The acyloxy group may be removed to give the derivative Alternatively the protecting group is removed and acyl shift occurs to give the derivative These derivs. are useful in the synthesis of compds. such as peptidyl α-ketoamides and α-hydroxy-β-carboxylic acid and amide derivs. Thus, α-acyloxy-β-protected amino acid derivs. Boc-NHCH[(S)(CH2)3NHC(NH2):NNO2]CH(O2CR)CO-Gly-OEt (R = Fmoc-Pro, Alloc-Pro, Ac, Bz, COCH2CH2Ph) are among the compds. prepared

L3 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1992:17574 CAPLUS
DOCUMENT NUMBER: 116:17574
TITLE: Purification to apparent homogeneity by immunoaffinity chromatography and partial characterization of the GM3 ganglioside-forming enzyme, CMP-sialic acid:lactosylceramide α2,3-sialyltransferase (SAT-1), from rat liver Golgi [Erratum to document cited in CA115(1):3719v]
AUTHOR(S): Melkerson-Watson, Lyla J.; Sweeley, Charles C.
CORPORATE SOURCE: Dep. Biochem., Michigan State Univ., East Lansing, MI, 48824, USA
SOURCE: Journal of Biological Chemistry (1991), 266(29), 19865
CODEN: JBCHA3; ISSN: 0021-9258
DOCUMENT TYPE: Journal
LANGUAGE: English
AB An error in the text has been corrected The error was not reflected in the abstract or the index entries.

L3 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1991:403719 CAPLUS
DOCUMENT NUMBER: 115:3719
TITLE: Purification to apparent homogeneity by immunoaffinity chromatography and partial characterization of the GM3 ganglioside-forming enzyme, CMP-sialic acid:lactosylceramide α2,3-sialyltransferase (SAT-1), from rat liver Golgi
AUTHOR(S): Melkerson-Watson, Lyla J.; Sweeley, Charles C.
CORPORATE SOURCE: Dep. Biochem., Michigan State Univ., East Lansing, MI, 48824, USA
SOURCE: Journal of Biological Chemistry (1991), 266(7), 4448-57
CODEN: JBCHA3; ISSN: 0021-9258
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Lactosylceramide α2,3-sialyltransferase (SAT-1) was purified .apprx.40,000-fold to apparent homogeneity from rat liver Golgi apparatus. SAT-1 was solubilized from Golgi vesicles in 5% lauryldimethylamine oxide and partially purified by affinity chromatog. twice on CMP-hexanolamine and once on lactosylceramide aldehyde-Sepharose 4B. Final purification was achieved by immunoaffinity chromatog. on M12GC7-Gel 10. The M12GC7 monoclonal antibody specifically inhibited and immunopptd. SAT-1 activity. Identification of the protein, with an apparent mol. weight by SDS-PAGE of .apprx.60,000 daltons, was confirmed by Western blot and immunodetection with M12GC7. SAT-1 specifically catalyzed the transfer of N-acetylneuraminic acid (NeuAc, sialic acid) to lactosylceramide (Galβ1-4Glcβ1-O-ceramide), forming GM3 ganglioside. Studies on substrate specificity indicated that the preferred acceptors have the general structure, saccharide β1-O-ceramide, a disaccharide being preferred to a monosaccharide. SAT-1 was found to be a glycoprotein. The carbohydrate moieties were detected with specific lectins. Deglycosylation of SAT-1 with N-glycanase resulted in an increase in a 43,000-dalton band. The 2-dimensional electrophoretogram of SAT-1 indicated a pI range of 5.7-6.2 for the 60,000-dalton protein.

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